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l12 and dicarboxylic adj acid	0

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EPO Abstracts Database
Derwent World Patents Index
IBM Technical Disclosure Bulletins

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Today's Date: 7/5/2001

DB Name	Query	Hit Count	Set Name
EPAB	l12 and dicarboxylic adj acid	0	L17
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DWPI	l12 and dicarboxylic adj acid	3	L15
JPAB	achiral	55	L14
EPAB	achiral	140	L13
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DWPI	l6 and l1	0	L11
EPAB	l6 and l1	0	L10
JPAB	l6 and l1	0	L9
PGPB	l6 and l1	0	L8
USPT	l6 and l1	29	L7
USPT	achiral	1339	L6
USPT	l4 and (solid adj phase adj synthesis)	33	L5
USPT	l3 and ligand	152	L4
USPT	l2 and mult$5	500	L3
USPT	l1 and peptide	1021	L2
USPT	dicarboxylic adj3 acid?	28902	L1

4 ANSWER 3 OF 7 CA COPYRIGHT 2001 ACS
ACCESSION NUMBER: 129:216895 CA
TITLE: **Synthesis** and activity of dimeric bradykinin antagonists containing diaminodicarboxylic acid bridge residues
AUTHOR(S): Lange, Meinolf; Cuthbertson, Alan S.; Towart, Robertson; Fischer, Peter M.
CORPORATE SOURCE: Nycomed Pharma AS, Bioreg, Oslo, Norway
SOURCE: J. Pept. Sci. (1998), 4(4), 289-293
CODEN: JPSIEI; ISSN: 1075-2617
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Enhancement of a ligand's interaction with a receptor through presenting the ligand in multimeric form is a topic of general interest. Thus dimerization of single-chain bradykinin antagonist peptides has previously been shown to be beneficial in terms of potency and duration of action. While crosslinking polypeptides at terminal positions using suitable **dicarboxylic acids** and diamines is comparatively straight-forward **synthetically**, internal dimerizations are usually achieved through oxidn. or double S-alkylations of cysteine residues, resulting in metabolically unfavorable disulfide and thioether cross-links. Using suitably modified std. **solid-phase peptide synthesis** protocols, dimeric bradykinin antagonist peptides [H-D-Arg-Arg-Pro-Hyp-Gly-Phe]2-X-[D-Phe-Leu-Arg-OH]2 were **synthesized** where X corresponds to a L,L-2,7-diaminosuberic or L,L-2,9-diaminosebacic acid residue, resp. The biol. activity of these peptides was comparable to that of conventional dimeric bradykinin antagonists cross-linked th

ANSWER 6 OF 7 CA COPYRIGHT 2001 ACS

ACCESSION NUMBER: 120:69739 CA

TITLE: Backbone cyclization as a tool for imposing conformational constraint on peptides

AUTHOR(S): Gilon, Chaim; Zeltser, Irena; Rashti-Bahar, Vered; Muller, Dan; Bitan, Gal; Halle, David; Bar-Akiva, Giora; Selinger, Zvi; Byk, Gerardo

CORPORATE SOURCE: Dep. Org. Chem., Univ. Jerusalem, Jerusalem, 91904, Israel

SOURCE: Pept. Chem. 1992, Proc. Jpn. Symp., 2nd (1993), Meeting Date 1992, 482-5. Editor(s): Yanaihara, Noboru. ESCOM: Leiden, Neth.
CODEN: 59NTAC

DOCUMENT TYPE: Conference

LANGUAGE: English

AB A series of 6 homologous N-backbone to amino end cyclic analogs of the C-terminal region of substance P were prepd. by **solid-phase synthesis** and their in vitro biol. activity was tested. The cyclic analogs contain the amino acid N-(.omega.-amino alkylene)Gly in position 9 which is connected to the amino terminal group of Arg6 via a **dicarboxylic acid** spacer, thus forming lactam rings of 17-22 atoms. The biol. activity and receptor selectivity of the cyclic analogs was compared with those of the endogenous mammalian tachykinins substance P, neurokinin A and B, and to the linear NK-1 selective hexapeptide Ac-Arg-Septide. Backbone cyclization of endogenous linear peptides can impose conformational constraint which enhances selectivity while maintaining potency. Moreover, backbone cyclization imposes resistance on the **peptide** to proteolytic degrdn.

(FILE 'HOME' ENTERED AT 14:45:17 ON 05 JUL 2001)

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L1         40973 S DICARBOXYLIC(5W)ACID#
L2           245 S L1 AND PEPTIDE
L3            71 S L2 AND SYNTHE?
L4             7 S L3 AND SOLID PHASE
L5            64 S L3 NOT L4
L6            51 S L5 NOT 1999-2000/PY
L7             0 S L1 AND MULTIPLE(5W)(LIGAND OR PEPTIDE OR POLYPEPTIDE OR
MULTI
L8             0 S L2 AND MULTI?(5W)(PEPTIDE OR LIGAND OR OLIGOPEPTIDE)
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